

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
3 February 2005 (03.02.2005)

PCT

(10) International Publication Number
WO 2005/009956 A1

(51) International Patent Classification?: **C07D 207/16,**
A61K 31/401, A61P 43/00

(21) International Application Number:
PCT/US2004/023263

(22) International Filing Date: **19 July 2004 (19.07.2004)**

(25) Filing Language: **English**

(26) Publication Language: **English**

(30) Priority Data:
60/488,902 **21 July 2003 (21.07.2003)** **US**

(71) Applicant (for all designated States except US):
SMITHKLINE BEECHAM CORPORATION
[US/US]; One Franklin Plaza, Philadelphia, PA 19101
(US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **IGO, David, H.**
[US/US]; GlaxoSmithKline, Five Moore Drive, PO Box
13398, Research Triangle Park, NC 27709 (US). **JOHN-**
SON, Paul, R. [US/US]; GlaxoSmithKline, Five Moore
Drive, PO Box 13398, Research Triangle Park, NC 27709
(US). **PATTERSON, Daniel, E.** [US/US]; GlaxoSmithK-
line, Five Moore Drive, PO Box 13398, Research Triangle

Park, NC 27709 (US). **RANDHAWA, Amarjit, Sab**
[CA/US]; GlaxoSmithKline, Five Moore Drive, PO Box
13398, Research Triangle Park, NC 27709 (US).

(74) Agents: **LEVY, David, J. et al.**; GlaxoSmithKline, Five
Moore Drive, PO Box 13398, Research Triangle Park, NC
27709 (US).

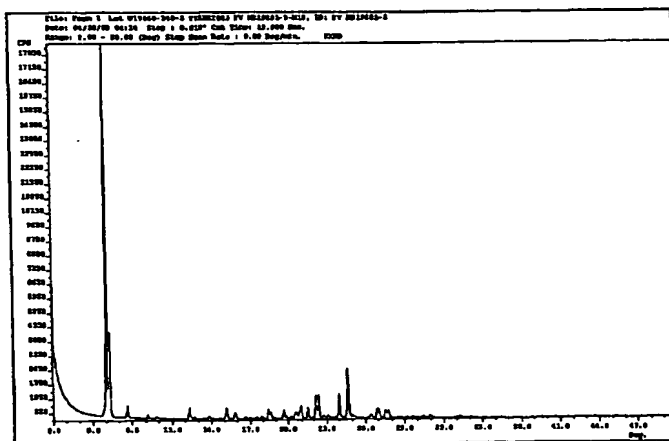
(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

[Continued on next page]

(54) Title: **(2S,4S)-4-FLUORO-1-[4-FLUORO-BETA-(4-FLUOROPHENYL)-L-PHENYLALANYL]-2-PYRROLIDINECAR-**
BONITRILE P-TOLUENESULFONIC ACID SALT AND ANHYDROUS CRYSTALLINE FORMS THEREOF

Powder X-ray diffraction pattern of anhydrous form 1 tosylate salt



(57) Abstract: The present invention includes anhydrous crystalline forms of (2S,4S)-4-fluoro-1-[4-fluoro-β-(4-fluorophenyl)-L-phenylalanyl]-2-pyrrolidinecarbonitrile p-toluenesulfonic acid salt.

WO 2005/009956 A1

- with international search report
- with amended claims

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.